

Application No. 09/211,715
Reply to Office Action of November 20, 2002

May 7, 2003
S&L File No. P26,835 USA

In the claims:

Please cancel claims 2 and 3 without prejudice.

Please amend the claims as follows:

8. A compound selected from the group consisting of
- (2-benzofuroyl)-Tyr-Chg-Arg-Pen-Pro-NH₂;
- (2-benzofuroyl)-pAph-Chg-PalMe(3)-Pen(CH₂COOH)-Pro-NH₂;
- Ac-pAph-Chg-Arg-Cys(CH₂COOH)-Pro-NH₂;
- (Alloc)-pAph-Chg-Arg-Leu-Pro-NH₂;
- (2-benzofuroyl)-pAph-Chg-Arg-Pen(CH₂COOH)-Pro-NH₂;
- Ac-pAph-Chg-PalMe(3)-Pen(CH₂COOH)-Pro-NH₂;
- Ac-pAph-Chg-Arg-Leu-Pro-NH₂; Ac-pAph-Chg-Arg-(HOOC-CH₂)Gly-Pro-NH₂;
- Ac-pAph-Chg-Arg(HOOC-CH₂-CH₂)Gly-Pro-NH₂;
- Ac-pAph-Chg-Arg-Gla-Pro-NH₂; Ac-pAph-Chg-Arg-Cys(CH₂-COOH)-Pro-NH₂;
- Ac-Pal(4)Me-Chg-Arg-Leu-Pro-NH₂; Ac-(iBu)Nal(2)-Chg-Arg-Leu-Pro-NH₂;
- Ac-Phe(p-CONH₂)-Chg-Arg-Leu-Pro-NH₂;
- Ac-pAph-Chg-Arg-N[1(1,3-dicarboxy)propyl]Gly-Pro-NH₂;
- Ac-pAph-Chg-Dap(CH=N(CH₃)₂)-Leu-Pro-NH₂;
- (2-quinolinoyl)-Phe(NH₂)-Chg-Arg-Leu-Pro-NH₂;

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Ac-pAph-Chg-Arg-N(carboxymethyl)Gly-Pro-NH₂;
Ac-pAph-Chg-Arg-(carboxyethyl)Gly-Pro-NH₂; Ac-mAph-Chg-Arg-Leu-Pro-NH₂;
Alloc-pAph-Chg-PalMe(3)-Pen(CH₂COOH)-Pro-NH₂;
Ac-pAph-Chg-Arg-N[1(1,3-dicarboxy)propyl]Gly-Pro-NH₂;
Ac-pAph-Ile-Arg-Leu-Pro-NH₂; Ac-Phe(pNH₂)-Chg-Arg-(Me)Leu-Pro-NH₂;
Ac-(Chx-CH₂)Tyr-Chg-Arg-Leu-Pro-NH₂;
(3-pyridoyl)-Phe(pNH₂)-Chg-Arg-Leu-Pro-NH₂;
(3-pyridoyl)-Nal(2)-Chg-Arg-Leu-Pro-NH₂;
Ac-Pal(4)Me-Chg-Pal(4)Me-Leu-Pro-NH₂; Alloc-pAph-Chg-Arg-Leu-Pro-NH₂;
(4-isoquinolinoyl)-Phe(pNH₂)-Chg-Arg-Leu-Pro-NH₂;
Ac-pAph-Cha-PalMe(3)-(Me)Leu-Pro-NH₂;
Ac-pAph-Chg-PalMe(3)-Leu-Pro-NH₂;
(2-naphthyl-CH₂)Phe(pNH₂)-Chg-Arg-Leu-Pro-NH₂;
(5-pyrazinoyl)Nal(2)-Chg-Arg-Leu-Pro-NH₂;
(Benzoyl)-Phe(pNH₂)-Chg-Arg-Leu-Pro-NH₂;
Ac-(2-methylpentanyl)-Tyr-Ile-Arg-Leu-Pro-NH₂;
(2-pyridonyl)Phe(pNH₂)Chg-Arg-Leu-Pro-NH₂;
(Benzoyl)-Phe(pNH₂)-Chg-Arg-Leu-Pro-NH₂;

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Ac-(2-methylpentyl)Tyr-Ile-Arg-Leu-Pro-NH₂;
Ac-(iBu)Phe(pCN)-Chg-Arg-Leu-Pro-NH₂;
Ac-(2-methylbutyl)Tyr-Ile-Arg-Leu-Pro-NH₂;
Ac-Phe(pNH₂)-Chg-Arg-Leu-Pro-NH₂;
Ac-Phe(pNH₂)-Chg-Arg-Leu-Hyp-NH₂; Ac-Tyr-Chg-Arg-Leu-Pro-NH₂;
(2-naphthylsulfonyl)-Phe(pNH₂)-Chg-Arg-Leu-Pro-NH₂;
(2-methylbenzyl)-Phe(pNH₂)-Chg-Arg-Leu-Pro-NH₂;
(2-benzofuroyl)-Phe(pNH₂)-Chg-Dab(CH=N(CH₃)₂)-Leu-Pro-NH₂;
Ac-(cyclopentenyl-CH₂)Tyr-Ile-Arg-Leu-Pro-NH₂;
Ac-Pal(4)Me-Chg-PalMe(3)-Leu-Pro-NH₂;
Ac-(iBu)-Phe(pNH₂)-Chg-Arg-Leu-Pro-NH₂; and
Ac-(Chx-CH₂)-Tyr-Ile-Arg-Leu-Pro-NH₂.

9. A compound selected from the group consisting of
Ac-pAph-Chg-Arg-Leu-NH₂ and Ac-pAph-Chg-Arg-Leu.

10. A compound selected from the group consisting of
(2-benzofuroyl)-pAph-Chg-PalMe(3)-NH₂ and Ac-(iBu)Phe(p NH₂)-Chg-Arg-NH₂.

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11. A compound selected from the group consisting of

Alloc-pAph-Chg-PalMe(3)-NH₂; (2-quinolinoyl)-pAph-Chg-PalMe(3)-NH₂;
Ac-pAph-Chg-PalMe(3)-NH(1-methoxycarbonyl)-1-cyclohexyl;
Ac-pAph-Chg-Arg-NH₂; (2-pyridoyl)-pAph-Chg-PalMe(3)-NH₂;
CF₃C(O)-(iBu)Phe(pNH₂)-Chg-Arg-NH₂;
Ac-pAph-Chg-PalMe(3)-NH-(1-methoxycarbonyl)-1-cyclopentyl;
Ac-pAph-Chg-PalMe(3)-NH-(4-methoxycarbonyl-cyclohexyl)methyl;
Ac-pAph-Chg-PalMe(3)-NH-(3-thienyl-2-carboxylic acid methyl ester);
Ac-pAph-Chg-Arg-NH₂; CF₃C(O)-(iBu)Tyr-Chg-Arg-OH;
Ac-pAph-Chg-PalMe(3)-NH-(4-methoxycarbonyl-cyclohexyl)methyl;
Ac-pAph-Chg-PalMe(3)-NH₂; Ac-pAph-Chg-Pal(3)(CH₂COOH)-NH₂;
(2-quinolinecarboxy)-pAph-Chg-PalMe(3)-NH₂;
Ac-pAph-Chg-PalMe(3)-NH-(4-carboxycyclohexyl) methyl; and
CF₃C(O)(iBu)-Tyr-Ile-Arg-NH₂.

20. A compound selected from the group consisting of

Ac-D-pAph-Chg-Arg-Leu-Pro-NH₂; Ac-D-pAph-Chg-Arg-Gla-Pro-NH₂;
Ac-D-pAph-Chg-Arg-Cys(CH₂-COOH)-Pro-NH₂;
Ac-D-pAph-Chg-Arg-N(carboxymethyl)Gly-Pro-NH₂;

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Ac-D-pAph-Chg-Arg-(carboxyethyl)Gly-Pro-NH₂;

Ac-D-pAph-Chg-Arg-N[1(1,3-dicarboxy)propyl]Gly-Pro-NH₂;

Ac-D-pAph-Ile-Arg-Leu-Pro-NH₂; *Alloc-D-pAph-Chg-Arg-Leu-Pro-NH₂*;

Ac-D-pAph-Chg-PalMe(3)-Leu-Pro-NH₂; and *Ac-D-pAph-Chg-Arg-NH₂*.

25. A method of specifically inhibiting the activity of Factor Xa,
comprising contacting the factor Xa with the compound as in claims 7, 8, 9, 10, 11,
20, 21, 22, or 23.